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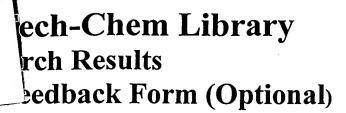
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## Scientific and Technical Information Center

Requester's Full Name	ing Lu	Framiner # . 770'/ Date: 5:/8/63	
Art Unit: 1624 Phone N	Number 30 6 - 5-814	Examiner # : 7701/ Date: 5/8/03 Serial Number: 09/954,63/	
Mail Box and Bldg/Room Location	$\frac{460}{}$ Resi	ults Format Preferred (circle): PAPER DISK E-MA	1
If more than one search is subm	itted, please prioritiz	ze searches in order of need.	
Please provide a detailed statement of the s Include the elected species or structures, k	search topic, and describe eywords, synonyms, acror that may have a special me	**************************************	k
Title of Invention:			
Inventors (please provide full names):	Himmels hac	h F Langkopf E Jung B	
Blech S	Solco F	J . J	_
Earliest Priority Filing Date:			
*For Sequence Searches Only* Please includ appropriate serial number.	le all pertinent information (	parent, child, divisional, or issued patent numbers) along with the	
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STAFF USE ONLY.	Type of Search	Vendors and cost where applicable	
Searcher: 78085	NA Sequence (#)	STN 246	
Searcher Phone #:	AA Sequence (#)	Dialog	
Searcher Location:	Structure (#)	Questel/Orbit	
Date Searcher Picked Up:	Bibliographic	Dr.Link	
Date Completed: 5 - 12 - 03	Litigation	Lexis/Nexis	
Searcher Prep & Review Time:	Fulltext	Sequence Systems	
Clerical Prep Time:	Patent Family	WWW/Internet	
Online Time: 25	Other	Other (specify)	

PTO-1590 (8-01)

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The search results generated for your recent request are attached. If you have any questions or comments (compliments or complaints) about the scope or the results of the search, please contact the BioTech-Chem searcher who conducted the search or contact:

Mary Hale, Supervisor, 308-4258 CM-1 Room 1E01

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> I am an examiner in Workgroup; (Example: 1610)
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102 rejection
103 rejection
☐ Cited as being of interest.
Helped examiner better understand the invention.
Helped examiner better understand the state of the art in their technology.
Types of relevant prior art found:
Foreign Patent(s)
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STRUCTURE FILE UPDATES: 11 MAY 2003 HIGHEST RN 514167-89-6 DICTIONARY FILE UPDATES: 11 MAY 2003 HIGHEST RN 514167-89-6

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Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

VAR G1=H/23 VAR G3=54/72/70 REP G4=(0-1) Q . NODE ATTRIBUTES: CONNECT IS E1 RC AT 23 DEFAULT MLEVEL IS ATOM GGCAT IS UNS AT 38 DEFAULT ECLEVEL IS LIMITED

L28

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 45 STEREO ATTRIBUTES: NONE

L30 46 SEA FILE=REGISTRY SSS FUL L28

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46 ANSWERS

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FILE COVERS 1907 - 12 May 2003 VOL 138 ISS 20 FILE LAST UPDATED: 11 May 2003 (20030511/ED)

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L28 STR
L30 46 SEA FILE=REGISTRY SSS FUL L28
L31 3 SEA FILE=CAPLUS ABB=ON L30

FILE 'USPATFULL' ENTERED AT 11:05:11 ON 12 MAY 2003
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FILE COVERS 1971 TO PATENT PUBLICATION DATE: 8 May 2003 (20030508/PD)
FILE LAST UPDATED: 8 May 2003 (20030508/ED)
HIGHEST GRANTED PATENT NUMBER: US6560778
HIGHEST APPLICATION PUBLICATION NUMBER: US2003088899
CA INDEXING IS CURRENT THROUGH 8 May 2003 (20030508/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 8 May 2003 (20030508/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2003
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2003

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This file contains CAS Registry Numbers for easy and accurate
substance identification.
L28
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              46 SEA FILE=REGISTRY SSS FUL L28
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               2 SEA FILE=USPATFULL ABB=ON L30
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FILE 'CAPLUS' ENTERED AT 11:05:18 ON 12 MAY 2003
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               5 DUP REM L31 L32 (O DUPLICATES REMOVED)
                 ANSWERS '1-3' FROM FILE CAPLUS
                 ANSWERS '4-5' FROM FILE USPATFULL
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    ANSWER 1 OF 5 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER:
                           2002:171892 CAPLUS
                           136:216762
DOCUMENT NUMBER:
                           Preparation of 4-amino-6-heterocyclylcarbonylaminoquin
TITLE:
                           azolines as epidermal growth factor receptor signal
                           transduction inhibitors
                           Himmelsbach, Frank; Langkopf, Elke; Jung, Birgit;
INVENTOR(S):
                           Blech, Stefan; Solca, Flavio
                           Boehringer Ingelheim Pharma Kg, Germany
PATENT ASSIGNEE(S):
SOURCE:
                           PCT Int. Appl., 53 pp.
                           CODEN: PIXXD2
DOCUMENT TYPE:
                           Patent
LANGUAGE:
                           German
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                        KIND DATE
     PATENT NO.
                                              APPLICATION NO. DATE
                                               _____
     WO 2002018376
                       A1 20020307
                                              WO 2001-EP9536 20010818
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
              PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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DE 2000-10042062 20000826

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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DE 10042062

AU 2001095482 A 5 20020313 AU 2001-95482 20010818 US 2002115675 20020822 A1 US 2001-934631 20010822 PRIORITY APPLN. INFO.: DE 2000-10042062 A 20000826 US 2000-230542P P 20000905 WO 2001-EP9536 20010818

OTHER SOURCE(S): GT

MARPAT 136:216762

RN

CN

NR1R2NR3CO— A— B— C

Title compds. [I; X = N, (substituted) methynyl; R1 = H, Me; R2 =(substituted) Ph, PhCH2, 1-phenylethyl; R3 = H, Me; A =(substituted) AΒ vinyl, ethynyl, 1,3-butadien-1,4-yl; B = (substituted) alkenyl, alkenylcarbonyl, etc.; C = (substituted) 2-oxomorpholin-4-yl, etc; D =oxyalkenyl, O; E = (substituted) amino, alkenylimino, imidazolyl, cycloalkyl; or DE = H, (substituted) alkoxy, etc.], were prepd. Thus, 4-[(3-chloro-4-fluorophenyl)] amino]-6-[(4-[N-(ethoxycarbonylmethyl)-N-((R)-(R)-(R)-(R)-(R)]]2-hydroxy-3-methoxypropyl)amino]-1-oxo-2-buten-1-yl)amino]-7cyclopropylmethoxyquinazoline (prepn. given) and MeSO2OH in MeCN were stirred for 4 h under reflux to give 69% 4-[(3-chloro-4fluorophenyl) amino] -6-[(4-[(R)-2-methoxymethyl-6-oxomorpholin-4-yl]-1-oxo-2-buten-1-yl)amino]-7-cyclopropylmethoxyquinazoline. The latter inhibited epidermal growth factor (EGF)-dependent proliferation of F/L-HERc cells with IC50 = 2 nM. The invention relates to the use of the title compds. for treating tumor diseases, and lung and respiratory tract disorders.

402569-98-6P 402569-99-7P 402570-00-7P TT 402570-01-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(prepn. of (amino) (heterocyclylcarbonylamino) quinazolines as epidermal growth factor receptor signal transduction inhibitors)

402569-98-6 CAPLUS

2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-(cyclopropylmethoxy)-6-quinazolinyl]-4-[(2R)-2-(methoxymethyl)-6-oxo-4-morpholinyl]- (9CI) (CA INDEX NAME)

544/119 514/2395

RN 402569-99-7 CAPLUS

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-(cyclopropylmethoxy)-6-quinazolinyl]-4-(2-oxo-1,9-dioxa-4-azaspiro[5.5]undec-4-yl)- (9CI) (CA INDEX NAME)

54/11

RN 402570-00-7 CAPLUS

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-(cyclopropylmethoxy)-6-quinazolinyl]-4-[(2S)-2-(methoxymethyl)-6-oxo-4-morpholinyl]- (9CI) (CA INDEX NAME)

RN 402570-01-8 CAPLUS

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-(cyclopropylmethoxy)-6-quinazolinyl]-4-[2-(2-methoxyethyl)-6-oxo-4-morpholinyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

2002:171889 CAPLUS

DOCUMENT NUMBER:

136:232315

TITLE:

SOURCE:

Preparation of 4-amino-6-vinylcarbonylaminoquinazoline

s as epidermal growth factor receptor signal

transduction inhibitors

INVENTOR(S):

Himmelsbach, Frank; Langkopf, Elke; Jung, Birgit; .

Blech, Stefan; Solca, Flavio

PATENT ASSIGNEE(S):

Boehringer Ingelheim Pharma Kg, Germany

PCT Int. Appl., 78 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE

APPLICATION NO. DATE

Page 7

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                                                                   TJ,
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE,
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                                            AU 2001-84021
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PRIORITY APPLN. INFO .:
                                                              20000826
                                         US 2000-230389P
                                                              20000906
                                                           Ρ
                                         WO 2001-EP9537
                                                           W
                                                              20010818
                         MARPAT 136:232315
OTHER SOURCE(S):
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NHR1
$$NH-CO-CH=CH\left\{CH_2\right\}R^2$$

$$R^3$$

Title compds. [I; R1 = PhCH2, 1-phenylethyl, (substituted) Ph; R2 = N-[(1,3-dioxolan-2-yl)methyl]methylamino, (substituted) R4OCOCH2NCH2CH2OH,  $2-\infty \text{omorpholin}-4-\text{yl}; R4 = H, alkyl; R3 = H, (alkoxy)alkoxy,$ cycloalkylalkoxy, tetrahydrofuran-3-yloxy, tetrahydropyran-3-yloxy, tetrahydropyran-4-yloxy, tetrahydrofuranylmethoxy, tetrahydropyranylmethoxy; n = 1-3], were prepd. Thus, a mixt. of 6-amino-4-[(3-chloro-4-fluorophenyl)amino]-7-cyclopropylmethoxyquinazoline (prepn. given) and disopropylethylamine in THF was dropwise treated under ice-cooling with BrCH2CH: CHCO2Cl (prepn. given) in CH2Cl2 followed by stirring for 1 h under ice-cooling and for 2 h at room temp. and addn. of (S)-(2-hydroxypropylamino)acetic acid tert-Bu ester in CH2Cl2 to give after stirring over night at room temp. and stirring for 5 h at 60.degree. 64% 4-[(3-chloro-4-fluorophenyl)amino]-6-[(4-[N-(tertbutyloxycarbonylmethyl)-N-((S)-2-hydroxyprop-1-yl)amino]-1-oxo-2-buten-1yl)amino]-7-cyclopropylmethoxyquinazoline. Several I inhibited epidermal growth factor (EGF)-dependent proliferation of F/L-HERc cells with IC50 = 0.02-15 nM. The invention relates to the use of the title compds. for treating tumor diseases, and lung and respiratory tract disorders. IΤ 402855-53-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of (amino) (vinylcarbonylamino) quinazolines as epidermal growth factor receptor signal transduction inhibitors)

RN 402855-53-2 CAPLUS

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-(cyclopropylmethoxy)-6-quinazolinyl]-4-[(2R)-2-methyl-6-oxo-4-morpholinyl]- (9CI) (CA INDEX NAME)

IT 402855-19-0P 402855-22-5P 402855-23-6P 402855-24-7P 402855-25-8P 402855-29-2P 402855-30-5P 402855-32-7P 402855-33-8P 402855-34-9P 402855-35-0P 402855-38-3P 402855-54-4P 402855-55-4P 402855-55-4P 402855-56-5P 402855-57-6P 402855-58-7P 402855-65-6P 402855-63-4P 402855-61-2P 402855-65-6P 402855-66-7P 402855-67-8P 402855-66-6P 402855-69-0P 402855-73-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of (amino)(vinylcarbonylamino)quinazolines as epidermal growth factor receptor signal transduction inhibitors)

RN 402855-19-0 CAPLUS

CN

2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-(2-methoxyethoxy)-6-quinazolinyl]-4-(5,5-dimethyl-2-oxo-4-morpholinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ N \\ \longrightarrow CH_2 \\ \longrightarrow CH_2$$

RN 402855-22-5 CAPLUS

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-(cyclobutyloxy)-6-quinazolinyl]-4-(5,5-dimethyl-2-oxo-4-morpholinyl)- (9CI) (CA INDEX NAME)

Me Me 
$$CH_2-CH=CH-C-NH$$
NH
O

RN 402855-23-6 CAPLUS

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-(cyclopentyloxy)-6-quinazolinyl]-4-(5,5-dimethyl-2-oxo-4-morpholinyl)- (9CI) (CA INDEX NAME)

Me Me O O O N N N 
$$CH_2-CH=CH-C-NH$$
 NH NH  $C1$ 

RN 402855-24-7 CAPLUS

CN 2-Butenamide, 4-(5,5-dimethyl-2-oxo-4-morpholinyl)-N-[4-[[(1R)-1-phenylethyl]amino]-6-quinazolinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 402855-25-8 CAPLUS

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-[[(3R)-tetrahydro-3-fluorophenyl]-7-[[(3R)-tetrahydro-3-fluorophenyl]-7-[[(3R)-tetrahydro-3-fluorophenyl]-7-[[(3R)-tetrahydro-3-fluorophenyl]-7-[[(3R)-tetrahydro-3-fluorophenyl]-7-[[(3R)-tetrahydro-3-fluorophenyl]-7-[[(3R)-tetrahydro-3-fluorophenyl]-7-[[(3R)-tetrahydro-3-fluorophenyl]-7-[[(3R)-tetrahydro-3-fluorophenyl]-7-[[(3R)-tetrahydro-3-fluorophenyl]-7-[[(3R)-tetrahydro-3-fluorophenyl]furanyl]oxy]-6-quinazolinyl]-4-(5,5-dimethyl-2-oxo-4-morpholinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown:

RN 402855-29-2 CAPLUS

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-[(tetrahydro-2Hpyran-4-yl)oxy]-6-quinazolinyl]-4-(5;5-dimethyl-2-oxo-4-morpholinyl)-(CA INDEX NAME)

RN 402855-30-5 CAPLUS

CN 2-Butenamide, N-[7-(cyclopropylmethoxy)-4-[[(1R)-1-phenylethyl]amino]-6quinazolinyl]-4-(5,5-dimethyl-2-oxo-4-morpholinyl)- (9CI) (CA INDEX NAME)

RN 402855-32-7 CAPLUS

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-methoxy-6-quinazolinyl]-4-(5,5-dimethyl-2-oxo-4-morpholinyl)- (9CI) (CA INDEX NAME)

Me Me N— 
$$CH_2$$
—  $CH$ —

RN 402855-33-8 CAPLUS

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-[(tetrahydro-2-furanyl)methoxy]-6-quinazolinyl]-4-(5,5-dimethyl-2-oxo-4-morpholinyl)-(9CI) (CA INDEX NAME)

RN 402855-34-9 CAPLUS

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-[[(3S)-tetrahydro-3-furanyl]oxy]-6-quinazolinyl]-4-(5,5-dimethyl-2-oxo-4-morpholinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 402855-35-0 CAPLUS

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-(cyclopropylmethoxy)-6-quinazolinyl]-4-(5-ethyl-2-oxo-4-morpholinyl)- (9CI) (CA INDEX NAME)

RN 402855-38-3 CAPLUS

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-6-quinazolinyl]-4-(5,5-dimethyl-2-oxo-4-morpholinyl)- (9CI) (CA INDEX NAME)

RN 402855-47-4 CAPLUS

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-[(tetrahydro-3-furanyl)methoxy]-6-quinazolinyl]-4-(2,2-dimethyl-6-oxo-4-morpholinyl)-(9CI) (CA INDEX NAME)

- RN 402855-48-5 CAPLUS
- CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-[(tetrahydro-3-furanyl)methoxy]-6-quinazolinyl]-4-(5,5-dimethyl-2-oxo-4-morpholinyl)-(9CI) (CA INDEX NAME)

- RN 402855-52-1 CAPLUS
- CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-(cyclopropylmethoxy)-6-quinazolinyl]-4-[(2S)-2-methyl-6-oxo-4-morpholinyl]- (9CI) (CA INDEX NAME)

RN 402855-54-3 CAPLUS

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-(cyclopropylmethoxy)-6-quinazolinyl]-4-[(3S)-3-methyl-2-oxo-4-morpholinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 402855-55-4 CAPLUS

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-(cyclobutyloxy)-6-quinazolinyl]-4-[(2S)-2-methyl-6-oxo-4-morpholinyl]- (9CI) (CA INDEX NAME)

RN 402855-56-5 CAPLUS

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-(cyclobutyloxy)-6-quinazolinyl]-4-[(2R)-2-methyl-6-oxo-4-morpholinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 402855-57-6 CAPLUS

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-[[(3S)-tetrahydro-3-furanyl]oxy]-6-quinazolinyl]-4-[(2S)-2-methyl-6-oxo-4-morpholinyl]- (9CI) (CA INDEX NAME)

RN 402855-58-7 CAPLUS

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-[[(3S)-tetrahydro-3-furanyl]oxy]-6-quinazolinyl]-4-[(2R)-2-methyl-6-oxo-4-morpholinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 402855-59-8 CAPLUS

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-[[(3R)-tetrahydro-3-furanyl]oxy]-6-quinazolinyl]-4-[(2R)-2-methyl-6-oxo-4-morpholinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 402855-60-1 CAPLUS

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-[(tetrahydro-2H-pyran-4-yl)oxy]-6-quinazolinyl]-4-(2,2-dimethyl-6-oxo-4-morpholinyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & \\ O & \\ O & \\ N & \\ N & \\ Me & Me \end{array}$$

RN 402855-61-2 CAPLUS

CN 2-Butenamide, N-[7-methoxy-4-[[(1R)-1-phenylethyl]amino]-6-quinazolinyl]-4-[(2S)-2-methyl-6-oxo-4-morpholinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 402855-62-3 CAPLUS

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-[[(3R)-tetrahydro-3-furanyl]oxy]-6-quinazolinyl]-4-[(2S)-2-methyl-6-oxo-4-morpholinyl]- (9CI) (CA INDEX NAME)

RN 402855-63-4 CAPLUS

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-6-quinazolinyl]-4-[(2R)-2-methyl-6-oxo-4-morpholinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 402855-64-5 CAPLUS

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-[(tetrahydro-2H-pyran-4-yl)oxy]-6-quinazolinyl]-4-[(2R)-2-methyl-6-oxo-4-morpholinyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 402855-65-6 CAPLUS

CN 2-Butenamide, 4-[(2S)-2-methyl-6-oxo-4-morpholinyl]-N-[4-[[(1R)-1-phenylethyl]amino]-6-quinazolinyl]- (9CI) (CA INDEX NAME)

RN 402855-66-7 CAPLUS

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-(cyclopentyloxy)-6-quinazolinyl]-4-[(2R)-2-methyl-6-oxo-4-morpholinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 402855-67-8 CAPLUS

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-methoxy-6-quinazolinyl]-4-[(2R)-2-methyl-6-oxo-4-morpholinyl]- (9CI) (CA INDEX NAME)

RN 402855-68-9 CAPLUS

CN 2-Butenamide, 4-[(2R)-2-methyl-6-oxo-4-morpholinyl]-N-[4-[[(1R)-1-phenylethyl]amino]-6-quinazolinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 402855-69-0 CAPLUS

CN 2-Butenamide, N-[7-methoxy-4-[[(1R)-1-phenylethyl]amino]-6-quinazolinyl]-4-[(2R)-2-methyl-6-oxo-4-morpholinyl]- (9CI) (CA INDEX NAME)

RN 402855-70-3 CAPLUS

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-[(tetrahydro-3-furanyl)methoxy]-6-quinazolinyl]-4-[(2R)-2-methyl-6-oxo-4-morpholinyl]-(9CI) (CA INDEX NAME) .

Absolute stereochemistry.

Double bond geometry unknown.

RN 402855-71-4 CAPLUS

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-[(tetrahydro-2H-pyran-4-yl)methoxy]-6-quinazolinyl]-4-[(2R)-2-methyl-6-oxo-4-morpholinyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 402855-72-5 CAPLUS

CN 2-Butenamide, N-[7-(cyclopropylmethoxy)-4-[(phenylmethyl)amino]-6-quinazolinyl]-4-[(2R)-2-methyl-6-oxo-4-morpholinyl]- (9CI) (CA INDEX NAME)

RN 402855-73-6 CAPLUS

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-[(tetrahydro-2Hpyran-4-yl)methoxy]-6-quinazolinyl]-4-[(2S)-2-methyl-6-oxo-4-morpholinyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

REFERENCE COUNT:

7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L34 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER:

DOCUMENT NUMBER:

133:207919

TITLE:

2000:628125 CAPLUS

Preparation of 4-amino-quinazoline and quinoline derivatives having an inhibitory effect on signal transduction mediated by tyrosine kinases useful for

treating tumoral diseases, lung and respiratory tract diseases

INVENTOR(S):

Himmelsbach, Frank; Langkopf, Elke; Jung, Birgit;

Metz, Thomas; Solca, Flavio; Blech, Stefan Boehringer Ingelheim Pharma K.-G., Germany

PATENT ASSIGNEE(S): SOURCE:

LANGUAGE:

PCT Int. Appl., 232 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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PATENT NO.
                             DATE
                       KIND
                                             APPLICATION NO.
                                                               DATE
     WO 2000051991
                             20000908
                        A1
                                            WO 2000-EP1496
                                                               20000224
             AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
             CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
             IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
             MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
             SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM
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             DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
             CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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                                             CA 2000-2361174 20000224
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                                                               20010824
     NO 2001004114
                        Α
                             20011015
                                             NO 2001-4114
PRIORITY APPLN. INFO.:
                                         DE 1999-19908567 A
                                                              19990227
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                                                              19990315
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                                                               19990621
                                          US 1999-149329P
                                                           Ρ
                                                               19990817
                                          DE 1999-19954816 A
                                                               19991113
                                          WO 2000-EP1496
                                                           W
                                                               20000224
OTHER SOURCE(S):
                          MARPAT 133:207919
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Ι

GI

II

AB Title compds. [I; R1 = H, C1-C4-alkyl; R2 = (un)substituted Ph, benzyl, 1-phenylethyl; R3, R4 independently = H, F, C1, CH3O, CH3OCH2, (CH3)2NCH2, (CH3CH2)2NCH2, pyrrolidino, piperidino, morpholino; X = C(CN), N; A = O, NH, (C1-C4)-alkylN; B = CO, SO2; C = 1,3-allenylene, 1,1-vinylene, 1,2-vinylene, 1,3-butadien-1,4-ylene, with CH3, CF3 substitution; D = alkylene, CO-alkylene, SO2-alkylene; CO, SO2; E = HOCO(CH2)nNR5, (HO)2P(:O)(CH2)nNR5; n = 1-6; R5 = H, alkyl], tautomers, stereoisomers, and physiol. acceptable salts are prepd. and having valuable pharmacol. properties, particularly an inhibiting effect on signal transduction mediated by tyrosine kinases. Title compds. are useful for treating tumoral diseases, diseases of the lungs and respiratory tract. Thus, the title compd. II was prepd. and tested by Cell Titer 96TM Aq. Nonradioactive Cell Proliferation Assay.

IT 290302-25-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of aminoquinazoline and aminoquinoline derivs. having an inhibitory effect on signal transduction mediated by tyrosine kinases useful for treating tumoral diseases, lung and respiratory tract diseases)

RN 290302-25-9 CAPLUS

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-(cyclopropylmethoxy)-6-quinazolinyl]-4-(2-oxo-4-morpholinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

## IT 290301-98-3P 290302-51-1P 290302-53-3P 290303-02-5P 290303-03-6P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of aminoquinazoline and aminoquinoline derivs. having an inhibitory effect on signal transduction mediated by tyrosine kinases useful for treating tumoral diseases, lung and respiratory tract diseases)

RN 290301-98-3 CAPLUS

CN 2-Butenamide, N-[4-[(3-bromophenyl)amino]-7-methoxy-6-quinazolinyl]-4-(2-oxo-4-morpholinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ \hline \\ O \\ \hline \\ O \\ \hline \\ N \\ \hline \\ CH_2 \\ \hline \\ CH_1 \\ \hline \\ CH_2 \\ \hline \\ CH_2 \\ \hline \\ CH_2 \\ \hline \\ CH_1 \\ \hline \\ CH_2 \\ CH_2 \\ \hline \\ CH_2 \\ \hline \\ CH_2 \\ C$$

RN 290302-51-1 CAPLUS

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-(cyclopropylmethoxy)-6-quinazolinyl]-4-(5,5-dimethyl-2-oxo-4-morpholinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ O \\ O \\ N \end{array} \begin{array}{c} CH_2 - O \\ N \end{array} \begin{array}{c} CH_2 - O \\ O \\ NH \end{array} \begin{array}{c} N \\ O \\ O \\ \end{array} \begin{array}{c} NH \\ O \\ \end{array} \begin{array}{c} C1 \\ F \end{array}$$

RN 290302-53-3 CAPLUS

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-(cyclopropylmethoxy)-6-quinazolinyl]-4-(5-methyl-2-oxo-4-morpholinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me & & CH_2-O \\ \hline & N-CH_2-CH-CH-C-NH \\ \hline & O & NH \\ \hline & O & NH \\ \hline & C1 & F \\ \end{array}$$

RN 290303-02-5 CAPLUS

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-(cyclopropylmethoxy)-6-quinazolinyl]-4-(2,2-dimethyl-6-oxo-4-morpholinyl)- (9CI) (CA INDEX NAME)

RN 290303-03-6 CAPLUS

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-(cyclopropylmethoxy)-6-quinazolinyl]-4-[(3R)-3-methyl-2-oxo-4-morpholinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

REFERENCE COUNT:

3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L34 ANSWER 4 OF 5 USPATFULL

ACCESSION NUMBER:

2002:214287 USPATFULL

TITLE:

Aminoquinazolines which inhibit signal transduction

mediated by tyrosine kinases

INVENTOR(S):

Himmelsbach, Frank, Mittelbiberach, GERMANY, FEDERAL

REPUBLIC OF

Langkopf, Elke, Warthausen, GERMANY, FEDERAL REPUBLIC

OF

Jung, Birgit, Schwabenheim, GERMANY, FEDERAL REPUBLIC

OF

Blech, Stefan, Warthausen, GERMANY, FEDERAL REPUBLIC OF

Solca, Flavio, Wien, AUSTRIA

NUMBER KIND DATE

PATENT INFORMATION:

US 2002115675

Α1 20020822

APPLICATION INFO .:

US 2001-93463 Α1 20010822 (9)

NUMBER

PRIORITY INFORMATION:

DE 2000-DE10042062 20000826

US 2000-230542P

20000905 (60)

DOCUMENT TYPE:

Utility

FILE SEGMENT:

APPLICATION

LEGAL REPRESENTATIVE:

BOEHRINGER INGELHEIM CORPORATION, 900 RIDGEBURY ROAD,

P. O. BOX 368, RIDGEFIELD, CT, 06877

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

1

LINE COUNT:

1172

CAS INDEXING IS AVAILABLE FOR THIS PATENT. AΒ Compounds of the formula ##STR1##

> having an inhibitory effect on signal transduction mediated by tyrosine kinases, and the use thereof for treating diseases, particularly tumoral diseases, diseases of the lungs and respiratory tract.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

402569-98-6P 402569-99-7P 402570-00-7P 402570-01-8P

> (prepn. of (amino) (heterocyclylcarbonylamino) quinazolines as epidermal growth factor receptor signal transduction inhibitors)

RN 402569-98-6 USPATFULL

2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-(cyclopropylmethoxy)-CN 6-quinazolinyl]-4-[(2R)-2-(methoxymethyl)-6-oxo-4-morpholinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 402569-99-7 USPATFULL

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-(cyclopropylmethoxy)-6-quinazoliny1]-4-(2-oxo-1,9-dioxa-4-azaspiro[5.5]undec-4-y1)- (9CI)(CA INDEX NAME)

RN 402570-00-7 USPATFULL

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-(cyclopropylmethoxy)-6-quinazolinyl]-4-[(2S)-2-(methoxymethyl)-6-oxo-4-morpholinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 402570-01-8 USPATFULL

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-(cyclopropylmethoxy)-6-quinazolinyl]-4-[2-(2-methoxyethyl)-6-oxo-4-morpholinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

USPATFULL L34 ANSWER 5 OF 5

ACCESSION NUMBER:

2002:149174 USPATFULL

TITLE:

Bicyclic heterocycles, pharmaceutical compositions

containing them, their use, and processes for preparing

INVENTOR(S):

Himmelsbach, Frank, Mittelbiberach, GERMANY, FEDERAL

REPUBLIC OF

Langkopf, Elke, Warthausen, GERMANY, FEDERAL REPUBLIC

Jung, Birgit, Schwabenheim, GERMANY, FEDERAL REPUBLIC

Blech, Stefan, Warthausen, GERMANY, FEDERAL REPUBLIC OF

Solca, Flavio, Wien, AUSTRIA

		NUMBER I		DATE	
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TION:	US	2002077330	A1	20020620	
FO.:	US	2001-929931	A1	20010815	(9)

PATENT INFORMAT APPLICATION INE

> NUMBER DATE

PRIORITY INFORMATION:

DE 2000-10042060 20000826

DOCUMENT TYPE:

US 2000-230389P 20000906 (60)

FILE SEGMENT:

Utility APPLICATION

LEGAL REPRESENTATIVE:

BOEHRINGER INGELHEIM CORPORATION, 900 RIDGEBURY ROAD,

P. O. BOX 368, RIDGEFIELD, CT, 06877

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

1

LINE COUNT: 1732

CAS INDEXING IS AVAILABLE FOR THIS PATENT. A compound of formula (I) ##STR1##

## wherein:

R.sub.a is a benzyl or 1-phenylethyl group or a phenyl group substituted by the groups R.sub.1 and R.sub.2, wherein:

R.sub.1 is a hydrogen, fluorine, chlorine, or bromine atom, or a methyl, trifluoromethyl, cyano, or ethynyl group, and

R.sub.2 is a hydrogen or fluorine atom;

R.sub.b is an R.sub.30--CO--CH.sub.2--N--CH.sub.2--CH.sub.2--OH group optionally substituted at the methylene groups by 1 or 2 methyl or ethyl groups, wherein R.sub.3 is a hydrogen atom or a C.sub.1-4-alkyl group, a

2-oxomorpholin-4-yl group optionally substituted by 1 or 2 methyl or ethyl groups, or a N-[(1,3-dioxolan-2-yl)methyl]methylamino group;

Liu

R.sub.c is a hydrogen atom, or a methoxy, ethoxy, 2-methoxyethoxy, 2-ethoxyethoxy, cyclobutyloxy, cyclopentyloxy, cyclohexyloxy, cyclopentylmethoxy, cyclopentylmethoxy, cyclohexylmethoxy, cyclohexylmethoxy, tetrahydrofuran-3-yloxy, tetrahydropyran-3-yloxy, tetrahydropyran-4-yloxy, tetrahydrofuranylmethoxy, or tetrahydropyranylmethoxy group; and

n is 1, 2, or 3, the tautomers, stereoisomers, and salts thereof, particularly the physiologically acceptable salts thereof with inorganic or organic acids or bases which have valuable pharmacological properties, their use in the treatment of diseases, especially tumoral diseases and diseases of the lungs and airways, and the preparation thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 402855-53-2P

(prepn. of (amino) (vinylcarbonylamino) quinazolines as epidermal growth factor receptor signal transduction inhibitors)

RN 402855-53-2 USPATFULL

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-(cyclopropylmethoxy)-6-quinazolinyl]-4-[(2R)-2-methyl-6-oxo-4-morpholinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

IT 402855-19-0P 402855-22-5P 402855-23-6P 402855-24-7P 402855-25-8P 402855-29-2P 402855-30-5P 402855-32-7P 402855-33-8P 402855-34-9P 402855-35-0P 402855-38-3P 402855-47-4P 402855-48-5P 402855-52-1P 402855-54-3P 402855-55-4P 402855-56-5P 402855-57-6P 402855-65-6P 402855-60-1P 402855-61-2P 402855-62-3P 402855-63-4P 402855-64-5P 402855-65-6P 402855-69-0P 402855-67-8P 402855-68-9P 402855-69-0P 402855-70-3P 402855-71-4P 402855-72-5P 402855-73-6P

(prepn. of (amino)(vinylcarbonylamino)quinazolines as epidermal growth factor receptor signal transduction inhibitors)

RN 402855-19-0 USPATFULL

CN

2-Butenamide, .N-[4-[(3-chloro-4-fluorophenyl)amino]-7-(2-methoxyethoxy)-6-

quinazolinyl]-4-(5,5-dimethyl-2-oxo-4-morpholinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ O \\ O \\ O \\ N \end{array} \begin{array}{c} MeO-CH_2-CH_2-O \\ N \end{array} \begin{array}{c} N \\ O \\ O \end{array} \begin{array}{c} N \\ NH \\ NH \\ O \end{array} \begin{array}{c} N \\$$

RN 402855-22-5 USPATFULL

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-(cyclobutyloxy)-6-quinazolinyl]-4-(5,5-dimethyl-2-oxo-4-morpholinyl)- (9CI) (CA INDEX NAME)

Me Me O O O N 
$$\sim$$
 CH2-CH=CH-C-NH  $\sim$  NH  $\sim$  C1

RN 402855-23-6 USPATFULL

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-(cyclopentyloxy)-6-quinazolinyl]-4-(5,5-dimethyl-2-oxo-4-morpholinyl)- (9CI) (CA INDEX NAME)

RN 402855-24-7 USPATFULL

CN 2-Butenamide, 4-(5,5-dimethyl-2-oxo-4-morpholinyl)-N-[4-[[(1R)-1-phenylethyl]amino]-6-quinazolinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 402855-25-8 USPATFULL

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-[[(3R)-tetrahydro-3-furanyl]oxy]-6-quinazolinyl]-4-(5,5-dimethyl-2-oxo-4-morpholinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 402855-29-2 USPATFULL

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-[(tetrahydro-2H-pyran-4-yl)oxy]-6-quinazolinyl]-4-(5,5-dimethyl-2-oxo-4-morpholinyl)-(9CI) (CA INDEX NAME)

RN 402855-30-5 USPATFULL

CN 2-Butenamide, N-[7-(cyclopropylmethoxy)-4-[[(1R)-1-phenylethyl]amino]-6-quinazolinyl]-4-(5,5-dimethyl-2-oxo-4-morpholinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 402855-32-7 USPATFULL

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-methoxy-6-quinazolinyl]-4-(5,5-dimethyl-2-oxo-4-morpholinyl)- (9CI) (CA INDEX NAME)

RN 402855-33-8 USPATFULL

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-[(tetrahydro-2-furanyl)methoxy]-6-quinazolinyl]-4-(5,5-dimethyl-2-oxo-4-morpholinyl)-(9CI) (CA INDEX NAME)

RN 402855-34-9 USPATFULL

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-[[(3S)-tetrahydro-3-furanyl]oxy]-6-quinazolinyl]-4-(5,5-dimethyl-2-oxo-4-morpholinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 402855-35-0 USPATFULL

CN

2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-(cyclopropylmethoxy)-6-quinazolinyl]-4-(5-ethyl-2-oxo-4-morpholinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Et & & & \\ \hline \\ O & & \\ O & & \\ O & & \\ \hline \\ O$$

RN 402855-38-3 USPATFULL

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-6-quinazolinyl]-4-(5,5-dimethyl-2-oxo-4-morpholinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \text{Me} & \text{O} \\ \text{N} & \text{CH}_2\text{-CH} = \text{CH} - \text{C} - \text{NH} \\ \text{O} & \text{NH} \\ \text{O} & \text{C1} \\ \end{array}$$

RN 402855-47-4 USPATFULL

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-[(tetrahydro-3-furanyl)methoxy]-6-quinazolinyl]-4-(2,2-dimethyl-6-oxo-4-morpholinyl)-(9CI) (CA INDEX NAME)

RN 402855-48-5 USPATFULL

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-[(tetrahydro-3-

furanyl)methoxy]-6-quinazolinyl]-4-(5,5-dimethyl-2-oxo-4-morpholinyl)(9CI) (CA INDEX NAME)

RN 402855-52-1 USPATFULL

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-(cyclopropylmethoxy)-6-quinazolinyl]-4-[(2S)-2-methyl-6-oxo-4-morpholinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 402855-54-3 USPATFULL

CN

2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-(cyclopropylmethoxy)-6-quinazolinyl]-4-[(3S)-3-methyl-2-oxo-4-morpholinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 402855-55-4 USPATFULL

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-(cyclobutyloxy)-6-quinazolinyl]-4-[(2S)-2-methyl-6-oxo-4-morpholinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 402855-56-5 USPATFULL

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-(cyclobutyloxy)-6-quinazolinyl]-4-[(2R)-2-methyl-6-oxo-4-morpholinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 402855-57-6 USPATFULL

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-[[(3S)-tetrahydro-3-furanyl]oxy]-6-quinazolinyl]-4-[(2S)-2-methyl-6-oxo-4-morpholinyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

RN 402855-58-7 USPATFULL

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-[[(3S)-tetrahydro-3-furanyl]oxy]-6-quinazolinyl]-4-[(2R)-2-methyl-6-oxo-4-morpholinyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 402855-59-8 USPATFULL

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-[[(3R)-tetrahydro-3-furanyl]oxy]-6-quinazolinyl]-4-[(2R)-2-methyl-6-oxo-4-morpholinyl]-

(9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 402855-60-1 USPATFULL

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-[(tetrahydro-2H-pyran-4-yl)oxy]-6-quinazolinyl]-4-(2,2-dimethyl-6-oxo-4-morpholinyl)-(9CI) (CA INDEX NAME)

RN 402855-61-2 USPATFULL

CN 2-Butenamide, N-[7-methoxy-4-[[(1R)-1-phenylethyl]amino]-6-quinazolinyl]-4-[(2S)-2-methyl-6-oxo-4-morpholinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 402855-62-3 USPATFULL

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-[[(3R)-tetrahydro-3-furanyl]oxy]-6-quinazolinyl]-4-[(2S)-2-methyl-6-oxo-4-morpholinyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

RN 402855-63-4 USPATFULL

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-6-quinazolinyl]-4-[(2R)-2-methyl-6-oxo-4-morpholinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 402855-64-5 USPATFULL

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-[(tetrahydro-2H-

pyran-4-yl)oxy]-6-quinazolinyl]-4-[(2R)-2-methyl-6-oxo-4-morpholinyl](9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 402855-65-6 USPATFULL

CN 2-Butenamide, 4-[(2S)-2-methyl-6-oxo-4-morpholinyl]-N-[4-[[(1R)-1-phenylethyl]amino]-6-quinazolinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 402855-66-7 USPATFULL

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-(cyclopentyloxy)-6-quinazolinyl]-4-[(2R)-2-methyl-6-oxo-4-morpholinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 402855-67-8 USPATFULL

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-methoxy-6-quinazolinyl]-4-[(2R)-2-methyl-6-oxo-4-morpholinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 402855-68-9 USPATFULL

CN 2-Butenamide, 4-[(2R)-2-methyl-6-oxo-4-morpholinyl]-N-[4-[[(1R)-1-phenylethyl]amino]-6-quinazolinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 402855-69-0 USPATFULL

CN

2-Butenamide, N-[7-methoxy-4-[[(1R)-1-phenylethyl]amino]-6-quinazolinyl]-4-[(2R)-2-methyl-6-oxo-4-morpholinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 402855-70-3 USPATFULL

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-[(tetrahydro-3-furanyl)methoxy]-6-quinazolinyl]-4-[(2R)-2-methyl-6-oxo-4-morpholinyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 402855-71-4 USPATFULL

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-[(tetrahydro-2H-pyran-4-yl)methoxy]-6-quinazolinyl]-4-[(2R)-2-methyl-6-oxo-4-morpholinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

RN 402855-72-5 USPATFULL

CN 2-Butenamide, N-[7-(cyclopropylmethoxy)-4-[(phenylmethyl)amino]-6-quinazolinyl]-4-[(2R)-2-methyl-6-oxo-4-morpholinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

RN 402855-73-6 USPATFULL

CN 2-Butenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-[(tetrahydro-2H-pyran-4-yl)methoxy]-6-quinazolinyl]-4-[(2S)-2-methyl-6-oxo-4-morpholinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

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## Whati is claimed is:

## 1. A compound of the formula

$$R_a$$
  $N_b$   $N_c$   $CO$   $A$   $B$   $C$   $N_c$   $N$ 

5 wherein

Ra denotes a hydrogen atom or a methyl group,

 $R_b$  denotes a phenyl, benzyl- or 1-phenylethyl group wherein the phenyl nucleus is substituted in each case by the groups  $R_1$  to  $R_3$ , while

R<sub>1</sub> and R<sub>2</sub>, which may be identical or different, in each case denote a hydrogen, fluorine, chlorine, bromine or iodine atom,

a methyl, ethyl, hydroxy, methoxy, ethoxy, amino, cyano, vinyl or ethynyl group,

an aryl, aryloxy, arylmethyl or arylmethoxy group,

a methyl or methoxy group substituted by 1 to 3 fluorine atoms or

 $R_1$  together with  $R_2$ , if they are bound to adjacent carbon atoms, denote a -CH=CH-CH=CH, -CH=CH-NH- or -CH=N-NH group and

R<sub>3</sub> denotes a hydrogen, fluorine, chlorine or bromine atom,

Rc denotes a hydrogen atom or a methyl group,

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X denotes a methyne group substituted by a cyano group or a nitrogen atom,

A denotes a 1,1- or 1,2-vinylene group which may be substituted in each case by one or two methyl groups or by a trifluoromethyl group,

an ethynylene group or

a 1,3-butadien-1,4-ylene group optionally substituted by a methyl or trifluoromethyl group,

B denotes an alkylene or -CO-alkylene group wherein the alkylene moiety in each case contains 1 to 4 carbon atoms, while the linking of the -CO-alkylene group to the adjacent group A in each case must take place via the carbonyl group,

a -CO-O-alkylene- or -CO-NR<sub>4</sub>-alkylene group wherein the alkylene moiety in each case contains 1 to 4 carbon atoms, while the linking to the adjacent group A in each case must take place via the carbonyl group, wherein

R<sub>4</sub> denotes a hydrogen atom or a methyl or ethyl group,

20 or a carbonyl group,

C denotes a 2-oxo-morpholin-4-yl group substituted by the group  $R_5$  or by the group  $R_5$  and a  $C_{1-4}$ -alkyl group, while

R<sub>5</sub> denotes a C<sub>3-4</sub>-alkyl, hydroxy-C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkyl, di-(C<sub>1-4</sub>-alkyl)-amino-C<sub>1-4</sub>-alkyl, pyrrolidino-C<sub>1-4</sub>-alkyl, piperidino-C<sub>1-4</sub>-alkyl, morpholino-C<sub>1-4</sub>-alkyl, 4-(C<sub>1-4</sub>-alkyl)-piperazino-C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkylsulphanyl-C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkylsulphinyl-C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkylsulphonyl-C<sub>1-4</sub>-alkyl, cyano-C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkoxycarbonyl-C<sub>1-4</sub>-alkyl, aminocarbonyl-C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkyl-aminocarbonyl-C<sub>1-4</sub>-alkyl, di-(C<sub>1-4</sub>-alkyl) aminocarbonyl-C<sub>1-4</sub>-alkyl, piperidinocarbonyl-C<sub>1-4</sub>-alkyl, piperidinocarbonyl-C<sub>1-4</sub>-al

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 $C_{1-4}$ -alkyl, morpholinocarbonyl- $C_{1-4}$ -alkyl or a 4-( $C_{1-4}$ -alkyl)-piperazinocarbonyl- $C_{1-4}$ -alkyl group,

- a 2-oxo-morpholin-4-yl group substituted by two groups R<sub>5</sub>, where R<sub>5</sub> is as hereinbefore defined and the two groups R<sub>5</sub> may be identical or different,
  - a 2-oxo-morpholin-4-yl group, wherein the two hydrogen atoms of a methylene group are replaced by a -(CH<sub>2</sub>)<sub>m</sub>, -CH<sub>2</sub>-Y-CH<sub>2</sub>, -CH<sub>2</sub>-Y-CH<sub>2</sub>-CH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>-Y-CH<sub>2</sub>CH<sub>2</sub>- or -CH<sub>2</sub>CH<sub>2</sub>-Y-CH<sub>2</sub>CH<sub>2</sub>- bridge optionally substituted by one or two C<sub>1-2</sub>-alkyl groups, while

m denotes the number 2, 3, 4, 5 or 6 and Y denotes an oxygen or sulphur atom, a sulphinyl, sulphonyl or C<sub>1-4</sub>-alkylimino group,

a 2-oxo-morpholin-4-yl group, wherein a hydrogen atom in the 5 position together with a hydrogen atom in the 6 position is replaced by a -(CH<sub>2</sub>)<sub>n</sub>, -CH<sub>2</sub>-Y-CH<sub>2</sub>, -CH<sub>2</sub>-Y-CH<sub>2</sub>-CH<sub>2</sub>-or -CH<sub>2</sub>CH<sub>2</sub>-Y-CH<sub>2</sub>-bridge, while

Y is as hereinbefore defined and n denotes the number 2, 3 or 4,

or, if D together with E denotes a group  $R_d$ , it may also denote a 2-oxo-morpholin-4-yl group which may be substituted by 1 to 4  $C_{1-2}$ -alkyl groups,

D denotes a -O-C<sub>1-6</sub>-alkylene group, while the alkylene moiety is linked to the group E, or an oxygen atom, while this may not be linked to a nitrogen atom of the group E, and

E denotes an amino group substituted by 2  $C_{1-4}$ -alkyl groups, wherein the alkyl groups may be identical or different and each alkyl moiety may be substituted from the 2 position by a  $C_{1-4}$ -alkoxy or di- $(C_{1-4}$ -alkyl)-amino group or by a 4- to 7-membered alkyleneimino group,

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while in the abovementioned 6- to 7-membered alkyleneimino groups in each case a methylene group may be replaced in the 4 position by an oxygen or sulphur atom or by a sulphinyl, sulphonyl- or  $N-(C_{1-4}-alkyl)$ -imino group,

5 a 4- to 7-membered alkyleneimino group optionally substituted by 1 to 4 methyl groups,

a 6- to 7-membered alkyleneimino group optionally substituted by 1 or 2 methyl groups, wherein in each case a methylene group in the 4 position is replaced by an oxygen or sulphur atom or by a sulphinyl, sulphonyl- or N- $(C_{1-4}$ -alkyl)-imino group,

an imidazolyl group optionally substituted by 1 to 3 methyl groups,

a  $C_{5-7}$ -cycloalkyl group, wherein a methylene group is replaced by an oxygen or sulphur atom or by a sulphinyl, sulphonyl or N-( $C_{1-4}$ -alkyl)-imino group, or

D together with E denotes a hydrogen atom,

a  $C_{1-6}$ -alkoxy group optionally substituted from the 2 position by a hydroxy- or  $C_{1-4}$ -alkoxy group,

a C<sub>3-7</sub>-cycloalkoxy- or C<sub>3-7</sub>-cycloalkyl-C<sub>1-4</sub>-alkoxy group,

or a group R<sub>d</sub>, where

25 R<sub>d</sub> denotes a C<sub>2-6</sub>-alkoxy group which is substituted from the 2 position by a C<sub>4-7</sub>-cycloalkoxy- or C<sub>3-7</sub>- cycloalkyl-C<sub>1-3</sub>-alkoxy group,

a  $C_{4-7}$ -cycloalkoxy- or  $C_{3-7}$ -cycloalkyl- $C_{1-6}$ -alkoxy group wherein the cycloalkyl moiety in each case is substituted by a  $C_{1-4}$ -alkyl,  $C_{1-4}$ -alkoxy, di-( $C_{1-4}$ -alkyl)-amino, pyrrolidino, piperidino, morpholino, piperazino, 4-( $C_{1-2}$ -alkyl)-piperazino,  $C_{1-4}$ -alkoxy- $C_{1-2}$ -alkyl, di-( $C_{1-4}$ -alkyl)-amino- $C_{1-2}$ -alkyl, pyrrolidino- $C_{1-2}$ -alkyl, piperidino- $C_{1-2}$ -alkyl, morpholino-

 $C_{1-2}$ -alkyl, piperazino- $C_{1-2}$ -alkyl- or 4-( $C_{1-2}$ -alkyl)-piperazino- $C_{1-2}$ -alkyl group, while the abovementioned cycloalkyl moieties may additionally be substituted by a methyl or ethyl group,

while, unless otherwise stated, by the aryl moieties mentioned in the definition of the abovementioned groups is meant a phenyl group which may be mono- or disubstituted by R<sub>6</sub>, while the substituents may be identical or different and

 $R_6$  denotes a fluorine, chlorine, bromine or iodine atom, a  $C_{1-2}$ -alkyl, trifluoromethyl or  $C_1$ .

2-alkoxy group, or

two groups R<sub>6</sub>, if they are bound to adjacent carbon atoms, together represent a C<sub>3.4</sub>-alkylene, methylenedioxy or 1,3-butadien-1,4-ylene group,

or a tautomer or salt thereof.

2. A compound of the formula I according to claim 1, wherein

R<sub>a</sub> denotes a hydrogen atom,

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 $R_b$  denotes a benzyl or 1-phenylethyl group or a phenyl group substituted by the groups  $R_1$  and  $R_2$ , while

R<sub>1</sub> denotes a hydrogen, fluorine, chlorine or bromine atom, a methyl, trifluoromethyl, cyano or ethynyl group and

R<sub>2</sub> denotes a hydrogen or fluorine atom,

R<sub>c</sub> denotes a hydrogen atom,

30 X denotes a nitrogen atom,

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A denotes a 1,2-vinylene group,

B denotes a C<sub>1-4</sub>-alkylene group,

C denotes a 2-oxo-morpholin-4-yl group substituted by the group  $R_5$  or by the group  $R_5$  and a  $C_{1-4}$ -alkyl group, while

R<sub>5</sub> denotes a C<sub>3-4</sub>-alkyl, C<sub>1-2</sub>-alkoxy-C<sub>1-4</sub>-alkyl, di-(C<sub>1-2</sub>-alkyl)-amino-C<sub>1-4</sub>-alkyl, pyrrolidino-C<sub>1-4</sub>-alkyl, piperidino-C<sub>1-4</sub>-alkyl, morpholino-C<sub>1-4</sub>-alkyl, 4-(C<sub>1-2</sub>-alkyl)-piperazino-C<sub>1-4</sub>-alkyl, C<sub>1-2</sub>-alkylsulphanyl-C<sub>1-4</sub>-alkyl, C<sub>1-2</sub>-alkylsulphinyl-C<sub>1-4</sub>-alkyl, C<sub>1-2</sub>-alkylsulphinyl-C<sub>1-4</sub>-alkyl, C<sub>1-2</sub>-alkylsulphinyl-C<sub>1-4</sub>-alkyl, aminocarbonyl-C<sub>1-4</sub>-alkyl, C<sub>1-2</sub>-alkyl-aminocarbonyl-C<sub>1-4</sub>-alkyl, di-(C<sub>1-2</sub>-alkyl)-aminocarbonyl-C<sub>1-4</sub>-alkyl, pyrrolidinocarbonyl-C<sub>1-4</sub>-alkyl, piperidinocarbonyl-C<sub>1-4</sub>-alkyl, morpholinocarbonyl-C<sub>1-4</sub>-alkyl- or a 4-(C<sub>1-2</sub>-alkyl)-piperazinocarbonyl-C<sub>1-4</sub>-alkyl group,

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a 2-oxo-morpholin-4-yl group substituted by two groups  $R_5$ , while  $R_5$  is as hereinbefore defined and the two groups  $R_5$  may be identical or different,

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a 2-oxo-morpholin-4-yl group, wherein the two hydrogen atoms of a methylene group are replaced by a -(CH<sub>2</sub>)<sub>m</sub>, -CH<sub>2</sub>-Y-CH<sub>2</sub>, -CH<sub>2</sub>-Y-CH<sub>2</sub>-CH<sub>2</sub>- or -CH<sub>2</sub>CH<sub>2</sub>-Y-CH<sub>2</sub>-bridge, while

m denotes the number 2, 3, 4 or 5 and

Y denotes an oxygen or sulphur atom, a sulphinyl, sulphonyl or C<sub>1-2</sub>-alkylimino group,

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- a 2-oxo-morpholin-4-yl group, wherein a hydrogen atom in the 5 position together with a hydrogen atom in the 6 position is replaced by a -(CH<sub>2</sub>)<sub>n</sub>, -CH<sub>2</sub>-Y-CH<sub>2</sub>, -CH<sub>2</sub>-Y-CH<sub>2</sub>-Or -CH<sub>2</sub>CH<sub>2</sub>-Y-CH<sub>2</sub>-bridge, where
- 30 Y is as hereinbefore defined and n denotes the number 2, 3 or 4,

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or, if D together with E denotes a group  $R_d$ , it may also denote a 2-oxo-morpholin-4-yl group which may be substituted by 1 or 2 methyl or ethyl groups,

D denotes a -O-C<sub>1-4</sub>-alkylene group, while the alkylene moiety is linked to the group E, and

È denotes a dimethylamino, diethylamino, pyrrolidino, piperidino, morpholino, 4-methylpiperazino- or 4-ethyl-piperazino group or

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D together with E denotes a hydrogen atom,

a methoxy, ethoxy, 2-methoxy-ethoxy, 3-methoxy-propyloxy, tetrahydrofuran-3-yloxy, tetrahydropyran-3-yloxy, tetrahydropyran-4-yloxy, tetrahydrofuranylmethoxy or tetrahydropyranylmethoxy group,

a cyclobutyloxy, cyclopentyloxy, cyclohexyloxy, cyclopropylmethoxy, cyclobutylmethoxy, cyclopentylmethoxy or cyclohexylmethoxy group or

20 a group R<sub>d</sub>, where

R<sub>d</sub> denotes a 2-(cyclobutyloxy)-ethoxy, 2-(cyclopentyloxy)-ethoxy, 2-(cyclopropylmethoxy)-ethoxy or 2-(cyclobutylmethoxy)-ethoxy group,

- or a tautomer or salt thereof.
  - 3. A compound of the formula I according to claim 1, wherein

Ra denotes a hydrogen atom,

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R<sub>b</sub> denotes a 1-phenylethyl, 3-methylphenyl, 3-chlorophenyl, 3-bromophenyl- or 3-chloro-4-fluorophenyl group,

R<sub>c</sub> denotes a hydrogen atom,

5

X denotes a nitrogen atom,

A denotes a 1,2-vinylene group,

10 B denotes a methylene group,

C denotes a 2-oxo-morpholin-4-yl group which is substituted by a methoxymethyl, methoxyethyl, ethoxymethyl, dimethylaminomethyl, dimethylaminomethyl, dimethylaminomethyl, diethylaminomethyl, cyanomethyl or cyanoethyl group,

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a 2-oxo-morpholin-4-yl group, wherein a hydrogen atom in the 5 position together with a hydrogen atom in the 6 position is replaced by a -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>, -CH<sub>2</sub>-O-CH<sub>2</sub>, -CH<sub>2</sub>-NCH<sub>3</sub>-CH<sub>2</sub>, -CH<sub>2</sub>-NC<sub>2</sub>H<sub>5</sub>-CH<sub>2</sub>, -CH<sub>2</sub>-O-CH<sub>2</sub>CH<sub>2</sub>, -CH<sub>2</sub>-NCH<sub>3</sub>-CH<sub>2</sub>-O-CH<sub>2</sub> or

25 -CH<sub>2</sub>CH<sub>2</sub>-NC<sub>2</sub>H<sub>5</sub>-CH<sub>2</sub>- bridge,

- or, if D together with E denotes a group  $R_d$ , it may also denote a 2-oxo-morpholin-4-yl group which is substituted by 1 or 2 methyl groups, and
- 30 D together with E denotes a hydrogen atom,

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a methoxy, ethoxy, 2-methoxy-ethoxy, 3-methoxy-propyloxy, tetrahydrofuran-3-yloxy, tetrahydropyran-4-yloxy or tetrahydrofuranylmethoxy group,

a cyclobutyloxy, cyclopentyloxy, cyclopropylmethoxy, cyclobutylmethoxy or cyclopentylmethoxy group or

a group R<sub>d</sub>, where

R<sub>d</sub> denotes a 2-(cyclobutyloxy)-ethoxy, 2-(cyclopentyloxy)-ethoxy, 2-(cyclopropylmethoxy)-ethoxy or 2-(cyclobutylmethoxy)-ethoxy group,

or a tautomer or salt thereof.

4. A compound of the formula I according to claim 1, wherein

R<sub>a</sub> denotes a hydrogen atom,

R<sub>b</sub> denotes a 3-chloro-4-fluorophenyl group,

20 R<sub>c</sub> denotes a hydrogen atom,

X denotes a nitrogen atom,

A denotes a 1,2-vinylene group,

B denotes a methylene group,

C denotes a 2-oxo-morpholin-4-yl group which is substituted by a methoxymethyl or methoxyethyl group, or

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amount of a compound according claim 1, 2, 3, 4 or 5 or a pharmaceutically acceptable salt thereof.

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